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PASSWORD:
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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAplus patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS	HOURS	STN Operating Hours Plus Help Desk Availability
NEWS	LOGIN	Welcome Banner and News Items
NEWS	IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:37:28 ON 29 JUL 2008

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:37:48 ON 29 JUL 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0
DICTIONARY FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

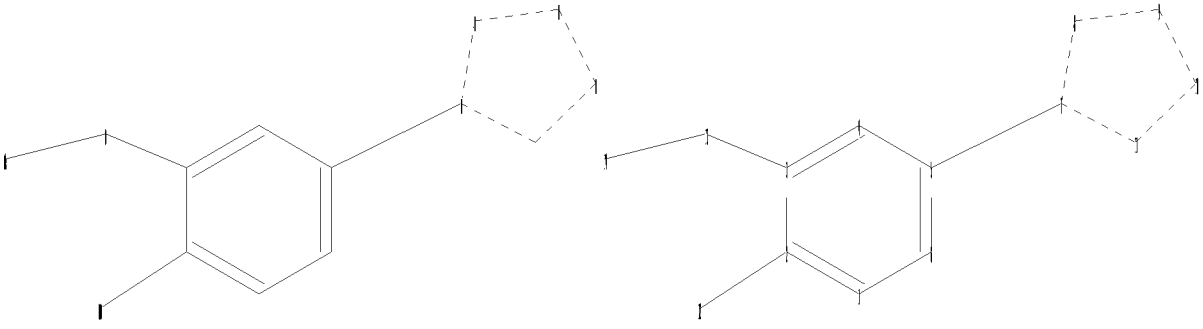
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10565801.str



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ring nodes :

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ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-11  8-9  9-10  10-11
exact/norm bonds :
3-13  5-7  7-8  7-11  8-9  9-10  10-11  13-14
exact bonds :
2-12
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:CLASS  13:CLASS  14:CLASS



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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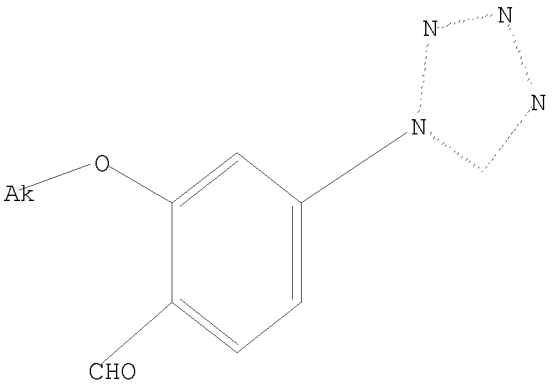


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Structure attributes must be viewed using STN Express query preparation.


=> s l1
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100.0% PROCESSED       21 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          146 TO      694
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 16:38:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      554 TO ITERATE
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100.0% PROCESSED 554 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 16:38:07 ON 29 JUL 2008
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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l3
L4 1 L3

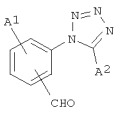
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

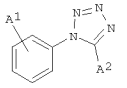
ACCESSION NUMBER: 2005:120902 CAPLUS
DOCUMENT NUMBER: 142:198083
TITLE: Preparation of alkoxytetrazol-1-ylbenzaldehyde compound and process for producing the same
INVENTOR(S): Haqiya, Kazutake; Sato, Yasuhiro
PATENT ASSIGNEE(S): Toyo Kasei Kogyo Company Limited, Japan
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012267	A1	20050210	WO 2004-JP10437	20040715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2531573	A1	20050210	CA 2004-2531573	20040715
EP 1650198	A1	20060426	EP 2004-747826	20040715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1826329	A	20060830	CN 2004-80020720	20040715
IN 2005DN06102	A	20070824	IN 2005-DN6102	20051227
US 20070060630	A1	20070315	US 2006-565801	20060125
KR 785395	B1	20071213	KR 2006-702250	20060201
PRIORITY APPLN. INFO.:			JP 2003-285266 A	20030801
			WO 2004-JP10437 W	20040715

OTHER SOURCE(S): CASREACT 142:198083; MARPAT 142:198083
GI



I



II

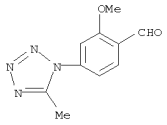
AB A process for producing an alkoxytetrazol-1-ylbenzaldehyde represented by the general formula (I) (wherein A1 represents alkoxy and A2 represents hydrogen, alkyl, or fluoroalkyl) is characterized by reacting a

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1-(alkoxyphenyl)-1H-tetrazole compd. represented by the general formula (II) (wherein A1 and A2 are the same as defined above) with hexamethylenetetramine in a sulfonic acid solvent and subsequently hydrolyzing the reaction product. In this process, an alkoxytetrazol-1-ylbenzaldehyde compd., which is useful as an intermediate for drugs such as analgesics and antiinflammatory agents, can be safely and efficiently produced by formylating a 1-(alkoxyphenyl)-1H-tetrazole compd. Thus, 3 g 1-(2-methoxyphenyl)-1H-tetrazole, 15 mL methanesulfonic acid, 15 mL trifluoromethanesulfonic acid, and 4.77 g hexamethylenetetramine were added to a flask and heated at 100° with stirring for 3 h and cooled to room temp. The reaction mixt. was added to 30 mL water cooled in an ice bath, stirred at 5° for 30 min and extd. with CH2Cl2 (60 mL X 2) and the combined ext. was washed with 10% aq. NaOH soln. (90 mL) and H2O (90 mL), dried over anhyd. MgSO4 for 1 h, filtered, and evapd. under reduced pressure to give a crude product which was crystd. from a mixt. of 6 mL CH2Cl2 and 9 mL isopropanol to give 27.9% 4-methoxy-3-(1H-tetrazol-1-yl)benzaldehyde.

IT 838840-01-0P, 2-Methoxy-4-(5-methyl-1H-tetrazol-1-yl)benzaldehyde
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (alkoxytetrazol-1-yl)benzaldehydes by formylation involving reaction of (alkoxyphenyl)-1H-tetrazoles with hexamethylenetetramine in sulfonic acid and subsequent hydrolysis)

RN 838840-01-0 CAPLUS
CN Benzaldehyde, 2-methoxy-4-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                         5.93     184.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                          ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -0.80      -0.80

FILE 'REGISTRY' ENTERED AT 16:38:20 ON 29 JUL 2008
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STRUCTURE FILE UPDATES:   28 JUL 2008  HIGHEST RN 1036756-19-0
DICTIONARY FILE UPDATES:  28 JUL 2008  HIGHEST RN 1036756-19-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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Uploading C:\Program Files\STNEXP\Queries\10565801b.str
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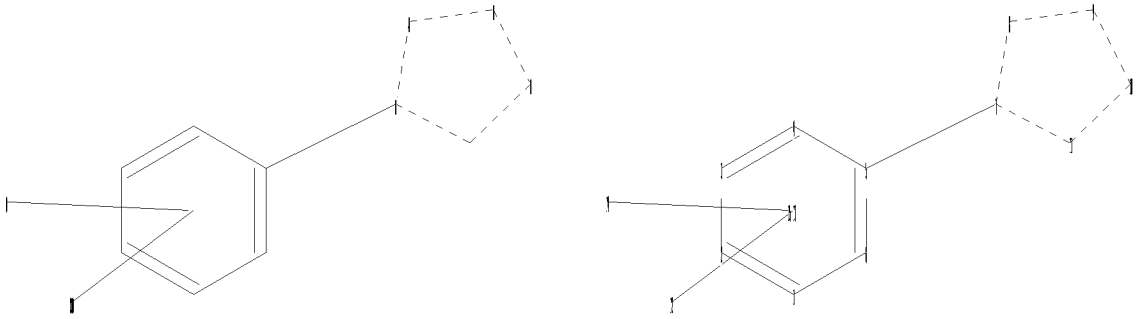

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ring nodes :
1  2  3  4  5  6  7  8  9  10  11
chain bonds :
5-7
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-11  8-9  9-10  10-11
```

exact/norm bonds :
5-7 7-8 7-11 8-9 9-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:Atom 14:CLASS 15:Atom

L5   STRUCTURE UPLOADED

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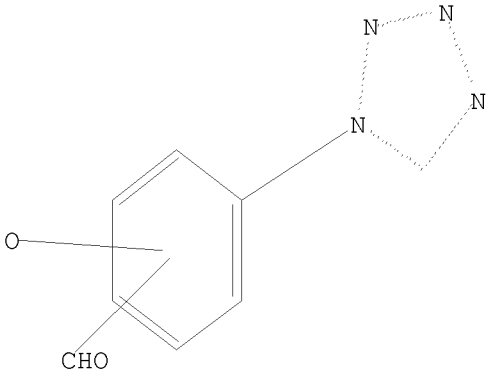
=> d
L5 HAS NO ANSWERS
L5   STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5
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SAMPLE SCREEN SEARCH COMPLETED -   3502 TO ITERATE

 57.1% PROCESSED   2000 ITERATIONS   0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 66491 TO   73589
PROJECTED ANSWERS: 0 TO   0

L6   0 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 16:40:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   71825 TO ITERATE

100.0% PROCESSED 71825 ITERATIONS 54 ANSWERS
SEARCH TIME: 00.00.01

L7 54 SEA SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	179.28	363.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.80

FILE 'CAPLUS' ENTERED AT 16:40:07 ON 29 JUL 2008
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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5
FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

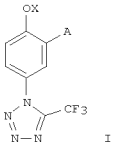
=> s l7
L8 31 L7

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 168.95 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L8 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1415235 CAPLUS
DOCUMENT NUMBER: 148:55077
TITLE: Preparation of 2-hydroxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde and its intermediates
INVENTOR(S): Tsugoshi, Mitsuyoshi; Okunaka, Ryuichi; Umemoto, Hideaki; Hamagaki, Takuya; Yamamoto, Tomomi; Mori, Toshiharu
PATENT ASSIGNEE(S): Amagasaki Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007320930	A	20071213	JP 2006-155045	20060602
PRIORITY APPLN. INFO.:			JP 2006-155045	20060602

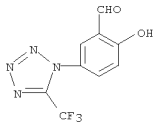
OTHER SOURCE(S): MARPAT 148:55077
GI



I

AB Title compound I (X = H, A = CHO) (II), useful as an intermediate for drugs, is prepared by (1) N-trifluoroacetylation of 4-HOC6H4NH2, (2) acylation of the resulting 4-HOC6H4NHCOCF3 with RCO2H (R = C1-3 alkyl) or their reactive derivs., (3) treatment of the resulting 4-RCO2C6H4NHCOCF3 (III; R = same as above) with PPh3 and CCl4, (4) reaction of the resulting 4-RCO2C6H4N:CClCF3 (R = same as above) with azides, (5) hydrolysis of the resulting I [X = COR (R = same as above); A = H] (IV), and (6) reaction of the resulting I (X = H, A = H) (V) with hexamethylenetetramine in MeSO3H and hydrolysis of the resulting product. Thus, THF solution of (CF3CO)2O was added dropwise to a mixture of THF and 4-HOC6H4NH2 at 20-50° and the reaction mixture was stirred at 20° for 2 h. After the reaction, Ac2O was added at 20-40° and the mixture was stirred at 25° for 2.5 h to give 95.4% III (R = Me). This was treated with PPh3 and CCl4 in toluene at 70° for 4 h and the resulting product was treated

L8 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
with NaN3, H2O, and AcOH in toluene at 25° for 4.5 h to give 85.3% IV (R = Me). A mixt. of the tetrazole deriv., MeOH, toluene, and H2SO4 was stirred at 50° for 4 h to give 80.8% V. V was treated with MeSO3H and hexamethylenetetramine at 90° for 5 h, the reaction mixt. was mixed with AcOH and added dropwise to H2O to give 78.8% II.
IT 168267-01-4P, 2-Hydroxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroxy(trifluoromethyltetrazolyl)benzaldehyde as drug intermediate from aminophenol and its intermediates)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1364124 CAPLUS
DOCUMENT NUMBER: 148:11245
TITLE: Preparation of heterocycle type cinnamide compounds for inhibiting amyloid-β production
INVENTOR(S): Kimura, Teiji; Kawano, Koki; Doi, Eriko; Kitazawa, Noritaka; Miyagawa, Takehiko; Sato, Nobuaki; Kaneko, Toshihiko; Shin, Kogyoku; Ito, Koichi; Takaishi, Mamoru; Sasaki, Takeo; Hagiwara, Hiroaki
PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan
SOURCE: PCT Int. Appl., 295pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

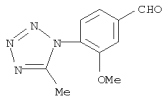
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007135970	A1	20071129	WO 2007-JP60188	20070518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			JP 2006-140606 A	20060519

OTHER SOURCE(S): MARPAT 148:11245
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Ar1 = triazolyl or tetrazolyl (wherein triazolyl and tetrazolyl are optionally substituted with halo, cyano, nitro, etc.); Ar2 = pyridinyl, pyrimidinyl or Ph (wherein pyridinyl, pyrimidinyl and Ph are optionally substituted with halo, hydroxy, cyano, etc.); X1 = -C.tplbond.C- or -CR3:CR4-; R3, R4 = H, halo, aromatic carbocycle (optionally substituted with halo, hydroxy, cyano, etc.), etc.; R1, R2 = halo, hydroxy, cyano, etc.; R1 and R2, taken together with the nitrogen atom to which they are attached, may form (un)substituted Q1, etc.; Y1 = -NH-, -O-, -S-, etc.; m1, m2 = 0-4] and their pharmacol. acceptable salts were prepared For example, a multi-step synthesis of compound II [R = (S)-1-(3,4,5-trifluorophenyl)ethyl], starting from 3,4,5-trifluoroacetophenone, was given. In amyloid-β 42 (A β 42) production-inhibition assays, the IC50 value of compound II [R = (1R,2R)-1-(4-fluorophenyl)-2-hydroxypropyl] was 0.05 μM. Compds. I are claimed useful for the treatment of Alzheimer's disease, cognition disorder, etc.
IT 958228-06-3P

L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocycle type cinnamide compds. for inhibiting amyloid-β prodn.)
RN 958228-06-3 CAPLUS
CN Benzaldehyde, 3-methoxy-4-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1155411 CAPLUS
DOCUMENT NUMBER: 145:471540
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
INVENTOR(S): Nagaoka, Naomi; Marunaka, Shigeyuki; Fukuta, Makoto
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 323pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

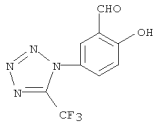
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006115285	A1	20061102	WO 2006-JP308919	20060421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

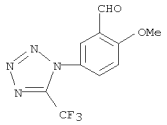
PRIORITY APPLN. INFO.: JP 2005-124335 A 20050421

OTHER SOURCE(S): MARPAT 145:471540
AB The title compds. (no biol. data) are prepared This document discloses a pharmaceutical composition comprising N-(2-[(3R,4S)-4-((2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide (I), a salt or a prodrug thereof, a sugar and a hydrophilic water-insol. substance. Thus, N-(2-[(3R,4S)-4-((2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide was prepared in 3 steps from (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability.
IT 168267-01-4, 2-Hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 168267-11-6, 2-Methoxy-5-(5-(trifluoromethyl)-1H-tetrazol-1-yl)benzaldehyde 225246-36-6, 2-(Cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

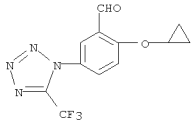
L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

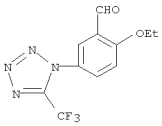


RN 225246-36-6 CAPLUS
CN Benzaldehyde, 2-(cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



IT 183808-94-8P, 2-Ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists)
RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



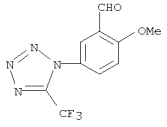
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1141178 CAPLUS
DOCUMENT NUMBER: 145:455020
TITLE: Method for preparing 2-methoxy-5-(5-trifluoromethyl-1H-tetrazole-1-yl)benzaldehyde
INVENTOR(S): Zhou, Guochuan; Lu, Ding
PATENT ASSIGNEE(S): Hengdian Group Chengdu Molecular Lab Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1850810	A	20061025	CN 2005-10020770	20050422

PRIORITY APPLN. INFO.: CN 2005-10020770 20050422

OTHER SOURCE(S): CASREACT 145:455020; MARPAT 145:455020
AB The title method comprises carrying out methylation of 5-nitrosalicylaldehyde, aldehyde protection, reduction, obtaining of imino chlorine-group, ring formation, and de-protection to obtain the final product.
IT 168267-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methoxy(trifluoromethyltetrazolyl)benzaldehyde from nitrosalicylaldehyde)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1123817 CAPLUS
DOCUMENT NUMBER: 145:455019
TITLE: Piperidine tetrazole derivatives, process for producing the same, crystals of, and use as tachykinin receptor antagonists for treating diseases of the lower urinary tract and the like
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Shirai, Junya; Takeshi, Yoshikawa; Nakatani, Hiroshi; Yamano, Mitsuhisa; Mizuno, Masahiro; Irie, Hiroyuki
PATENT ASSIGNEE(S): Japan
SOURCE: U.S. Pat. Appl. Publ., 58pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

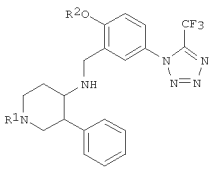
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060241145	A1	20061026	US 2006-407209	20060420
WO 2006115286	A1	20061102	WO 2006-JP308921	20060421

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-124334 A 20050421

OTHER SOURCE(S): MARPAT 145:455019
GI

L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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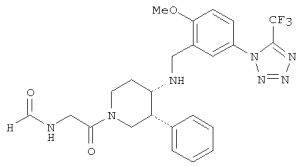


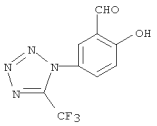
II

AB The present invention provides a piperidine derivative of general formula I (wherein ring A = (un)substituted piperidine ring; R1 = H or R1'-C(:O)- wherein R1' = (un)substituted 5-6-membered N-containing heterocycle, (un)substituted C1-6 alkyl, or (un)substituted C1-6 alkoxy; and R2 = H, (un)substituted C1-3 alkyl or C3-6 cycloalkyl) having antagonistic action for tachykinin receptors and the like, a crystal thereof, and an agent for the prophylaxis or treatment of diseases including lower urinary tract disease and the like, which contains the derivative Specifically, the present invention provides an optically active compound represented by I, and a salt thereof. A process for preparation of I is also claimed. For example, II was prepared in 3 steps from tert-Bu (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylate and 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. In an in vitro assay with human substance P receptor, II had an IC50 of 0.17 nM.

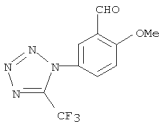
IT 168267-01-4, 2-Hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 168267-11-6, 2-Methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 183808-94-8, 2-Ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 225246-36-6, 2-(Cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(piperidine tetrazole derivs., process for producing the same, crystals of, and use as tachykinin receptor antagonists for treating urinary tract, CNS, and gastrointestinal diseases)

L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

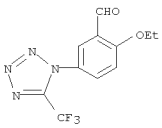
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

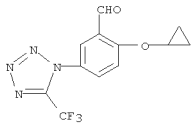


RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



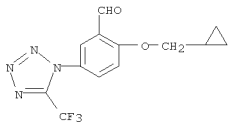
RN 225246-36-6 CAPLUS
CN Benzaldehyde, 2-(cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

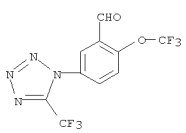


IT 913092-72-5P, 2-(Cyclopropylmethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde 913092-73-6P, 2-(Trifluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(piperidine tetrazole derivs., process for producing the same, crystals of, and use as tachykinin receptor antagonists for treating urinary tract, CNS, and gastrointestinal diseases)

RN 913092-72-5 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 913092-73-6 CAPLUS
CN Benzaldehyde, 2-(trifluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1290258 CAPLUS
DOCUMENT NUMBER: 144:36250
TITLE: Preparation of 3-amino-2-phenylpyrrolidine derivatives
as NK1 antagonists
INVENTOR(S): Humphrey, John Michael; Chappie, Thomas Allen
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115976	A1	20051208	WO 2005-IB1441	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2568046	A1	20051208	CA 2005-2568046	20050513
EP 1753718	A1	20070221	EP 2005-742452	20050513
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BR 2005010407	A	20071023	BR 2005-10407	20050513
JP 2008500324	T	20080110	JP 2007-514168	20050513
US 20050288358	A1	20051229	US 2005-136913	20050525
US 7381741	B2	20080603		
MX 2006PA13677	A	20070213	MX 2006-PA13677	20061124

PRIORITY APPLN. INFO.: US 2004-574116P P 20040525
WO 2005-IB1441 W 20050513

OTHER SOURCE(S): MARPAT 144:36250
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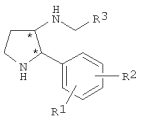
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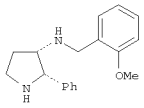
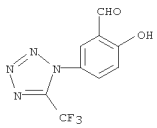
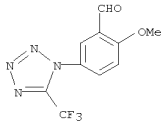

II

L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB Title compds. I [R1-2 = H, alkyl, halo, etc.; R3 = Ph, biphenyl, naphthyridinyl, etc.; the configuration at *'d centers are cis or trans relative to each other] and analogs are prepared For instance, II is prepared
in 7 steps from 2-phenyl-1-(toluene-4-sulfonyl)-2,5-dihydropyrrole-3-carboxylic acid Et ester and o-anisaldehyde. I are NK1 antagonists [no data] useful for the treatment of a variety of diseases.
IT 168267-01-4 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-amino-2-phenylpyrrolidine derivs. as NK1 antagonists)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



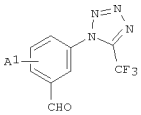
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:522120 CAPLUS
DOCUMENT NUMBER: 143:43886
TITLE: Preparation of alkoxy(trifluoromethyltetrazolyl)benzaldehydes
INVENTOR(S): Hagitani, Kazutake; Sato, Yasuhiro; Tanaka, Hikaru; Tanaka, Yuji
PATENT ASSIGNEE(S): Toyo Kasei Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005154420	A	20050616	JP 2004-309978	20041025

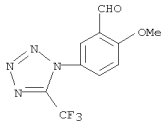
PRIORITY APPLN. INFO.: JP 2003-375426 A 20031105

OTHER SOURCE(S): MARPAT 143:43886
GI

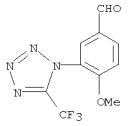

I

AB Title compds. I (A1 = alkoxy), useful as intermediates for pharmaceuticals, are prepared by amidation of alkoxyanilines with F3CCO2H or
its anhydride, reaction with carbon tetrahalides and P(A2)3 (A2 = C4-8 alkyl, aryl) to give A1C6H4N:CXCF3 (A1 = alkoxy; X = halo), reaction with M(N3)n (M = alkali metal, alkaline earth metal; n = 1-2) in polar solvents or
in aromatic hydrocarbons in the presence of amine salts to give tetrazole derivative, reaction with hexamethylenetetramine (II) in sulfonic acid solvents, and hydrolysis. P-MeOC6H4N:CClCF3 was treated with NaN3 and Et3N.HCl in PhMe at 80° for 15 h to give 98.3% 1-(4-methoxyphenyl)-5-trifluoromethyl-1H-tetrazole, which was treated with II in MeSO3H/CF3SO3H at 100° for 2 h and hydrolyzed to give 69.7% I (A1 = OMe).
IT 168267-11-6P 838840-00-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkoxy(trifluoromethyltetrazolyl)benzaldehydes from alkoxyanilines)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



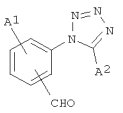
RN 838840-00-9 CAPLUS
CN Benzaldehyde, 4-methoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



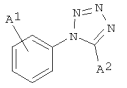
L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:120902 CAPLUS
DOCUMENT NUMBER: 142:198083
TITLE: Preparation of alkoxytetrazol-1-ylbenzaldehyde compound and process for producing the same
INVENTOR(S): Haqiya, Kazutake; Sato, Yasuhiro
PATENT ASSIGNEE(S): Toyo Kasei Kogyo Company Limited, Japan
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012267	A1	20050210	WO 2004-JP10437	20040715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2531573	A1	20050210	CA 2004-2531573	20040715
EP 1650198	A1	20060426	EP 2004-747826	20040715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1826329	A	20060830	CN 2004-80020720	20040715
IN 2005DN06102	A	20070824	IN 2005-DN6102	20051227
US 20070060630	A1	20070315	US 2006-565801	20060125
KR 785395	B1	20071213	KR 2006-702250	20060201
PRIORITY APPLN. INFO.:			JP 2003-285266 A	20030801
			WO 2004-JP10437 W	20040715

OTHER SOURCE(S): CASREACT 142:198083; MARPAT 142:198083
GI



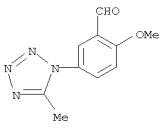
I



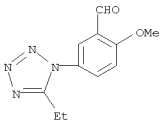
II

AB A process for producing an alkoxytetrazol-1-ylbenzaldehyde represented by the general formula (I) (wherein A1 represents alkoxy and A2 represents hydrogen, alkyl, or fluoroalkyl) is characterized by reacting a

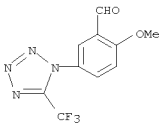
L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



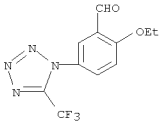
RN 168267-04-7 CAPLUS
CN Benzaldehyde, 5-(5-ethyl-1H-tetrazol-1-yl)-2-methoxy- (CA INDEX NAME)



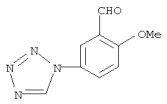
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

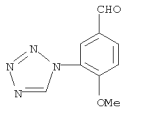


L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
1-(alkoxyphenyl)-1H-tetrazole compd. represented by the general formula (II) (wherein A1 and A2 are the same as defined above) with hexamethylenetetramine in a sulfonic acid solvent and subsequently hydrolyzing the reaction product. In this process, an alkoxytetrazol-1-ylbenzaldehyde compd., which is useful as an intermediate for drugs such as analgesics and antiinflammatory agents, can be safely and efficiently produced by formylating a 1-(alkoxyphenyl)-1H-tetrazole compd. Thus, 3 g 1-(2-methoxyphenyl)-1H-tetrazole, 15 mL methanesulfonic acid, 15 mL trifluoromethanesulfonic acid, and 4.77 g hexamethylenetetramine were added to a flask and heated at 100° with stirring for 3 h and cooled to room temp. The reaction mixt. was added to 30 mL water cooled in an ice bath, stirred at 5° for 30 min and extd. with CH2Cl2 (60 mL X 2) and the combined ext. was washed with 10% aq. NaOH soln. (90 mL) and H2O (90 mL), dried over anhyd. MgSO4 for 1 h, filtered, and evapd. under reduced pressure to give a crude product which was crystd. from a mixt. of 6 mL CH2Cl2 and 9 mL isopropanol to give 27.9% 4-methoxy-3-(1H-tetrazol-1-yl)benzaldehyde.
IT 168267-02-5P, 2-Methoxy-5-(1H-tetrazol-1-yl)benzaldehyde 168267-03-6P, 2-Methoxy-5-(5-methyl-1H-tetrazol-1-yl)benzaldehyde 168267-04-7P, 2-Methoxy-5-(5-ethyl-1H-tetrazol-1-yl)benzaldehyde 168267-11-6P, 2-Methoxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde 183808-94-8P, 2-Ethoxy-5-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde 838839-98-8P, 4-Methoxy-3-(1H-tetrazol-1-yl)benzaldehyde 838839-99-9P, 4-Methoxy-3-(5-methyl-1H-tetrazol-1-yl)benzaldehyde 838840-00-9P, 4-Methoxy-3-(5-trifluoromethyl-1H-tetrazol-1-yl)benzaldehyde 838840-01-0P, 2-Methoxy-4-(5-methyl-1H-tetrazol-1-yl)benzaldehyde
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (alkoxytetrazol-1-yl)benzaldehydes by formylation involving reaction of (alkoxyphenyl)-1H-tetrazoles with hexamethylenetetramine in sulfonic acid and subsequent hydrolysis)
RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

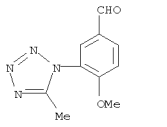


RN 168267-03-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

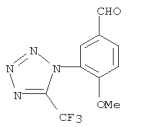
L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 838839-98-8 CAPLUS
CN Benzaldehyde, 4-methoxy-3-(1H-tetrazol-1-yl)- (CA INDEX NAME)



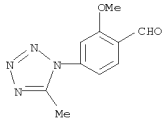
RN 838839-99-9 CAPLUS
CN Benzaldehyde, 4-methoxy-3-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 838840-00-9 CAPLUS
CN Benzaldehyde, 4-methoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 838840-01-0 CAPLUS
CN Benzaldehyde, 2-methoxy-4-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

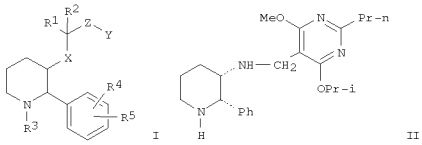
ACCESSION NUMBER: 2004:1127335 CAPLUS
DOCUMENT NUMBER: 142:74458
TITLE: Preparation of phenylpiperidine derivatives as Tachykinin antagonists
INVENTOR(S): Take, Kazuhiko; Tojo, Takashi; Azami, Hidenori
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111000	A2	20041223	WO 2004-JP8371	20040609
WO 2004111000	A3	20050526		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: AU 2003-902882 A 20030610

OTHER SOURCE(S): MARPAT 142:74458
GI

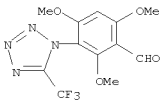


AB Phenylpiperidines of formula I [X = NH, O; Y = (substituted) aryl, heteroaryl, etc.; Z = bond, (substituted) methylene; R1, R2 = H, alkyl; R1R2 = oxo; R3 = H, oxodihydrotriazolylmethyl, protecting group; R4, R5 = H, halo, alkyl, alkoxy] are prepared as Tachykinin antagonists. The compds.
have pharmacol. activities such as Tachykinin antagonism, and is useful for the manufacture of a medicament for treating or preventing Tachykinin-mediated diseases. Thus, II.2HCl was prepared, and showed 100%
inhibition of emesis in the dog at 1.0 mg/kg.

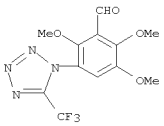
L8 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 811802-69-4P 811802-74-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylpiperidine derivs. as Tachykinin antagonists)

RN 811802-69-4 CAPLUS
CN Benzaldehyde, 2,4,6-trimethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 811802-74-1 CAPLUS
CN Benzaldehyde, 2,3,6-trimethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1018650 CAPLUS
DOCUMENT NUMBER: 142:6542
TITLE: Process for preparation of 1-phenyl-5-(trifluoromethyl)tetrazole derivatives and intermediates
INVENTOR(S): Mizuno, Masahiro; Maeda, Hiroyuki; Yamano, Mitsuhisa
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004331655	A	20041125	JP 2004-117667	20040413

PRIORITY APPLN. INFO.: JP 2003-109095 A 20030414

OTHER SOURCE(S): MARPAT 142:6542
GI

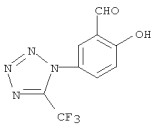
I

AB This invention pertains to a method for producing title compds. with general formula I [wherein A = (un)substituted alkyl, cycloalkyl, aryl, or
heteroaryl], which comprises reacting Ph3P=N-A with a trifluoroacetyl compound and an azide compound For example, N-(4-methoxyphenyl)triphenylphosphineimide (preparation given) was reacted with
1-(trifluoroacetyl)imidazole and diphenylphosphoryl azide in toluene and EtOH to give 1-(4-methoxyphenyl)-5-(trifluoromethyl)-1H-tetrazole. This invention provides a convenient method to prepare (trifluoromethyl)tetrazole
derivs. with industrial advantages.

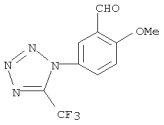
IT 168267-01-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 1-phenyl-5-(trifluoromethyl)tetrazole derivs.
and intermediates)

RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

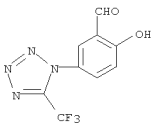
L8 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 168267-11-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1-phenyl-5-(trifluoromethyl)tetrazole derivs. and intermediates)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
by heating to 110° for 10 min. followed by removal of DMF, additon of CF3CO2H, and heating at 110° for 30 s to give [18F]-2-fluoroethoxy-5-(5-trifluoromethyltetrazol-1-yl)benzyl-[(2S,3S)-2-phenylpiperidin-3-yl]amine (II). In a chase study using an unlabeled NK1 antagonist in a monkey, II chased from the striatum faster than the fluoromethoxy analog, giving a more accurate picture of true receptor occupancy.
IT 168267-01-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of radiolabeled fluoroalkoxytrifluoromethyltetrazolylbenzylphen ylpiperidinylamines for the labeling and diagnostic imaging of neurokinin-1 receptors)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

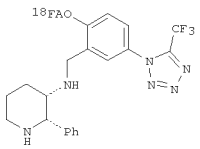


L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:287833 CAPLUS
DOCUMENT NUMBER: 140:303681
TITLE: Preparation of radiolabeled fluoroalkoxytrifluoromethyltetrazolylbenzylphenylpiper idinylamines for the labeling and diagnostic imaging of neurokinin-1 receptors in mammals.
INVENTOR(S): Burns, H. Donald; Eng, Wai-Si; Gibson, Raymond E.; Hamill, Terence G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029024	A2	20040408	WO 2003-US29707	20030919
WO 2004029024	A3	20040708		
W: CA, JP, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2499825	A1	20040408	CA 2003-2499825	20030919
EP 1545525	A2	20050629	EP 2003-759348	20030919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
US 20050214204	A1	20050929	US 2005-528888	20050323
US 7354935	B2	20080408		

PRIORITY APPLN. INFO.: US 2002-413223P P 20020924
WO 2003-US29707 W 20030919

OTHER SOURCE(S): MARPAT 140:303681
GI



I

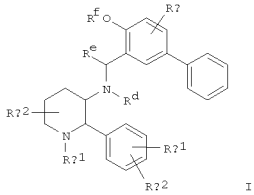
AB Title compds. (I; A = CD2, CH2CH2), were prepared Thus, bromoethyl triflate in o-dichlorobenzene was added to 18F-/Kryptofix222 with distn of 18FCH2CH2Br formed into a 0° vial of (2S,3S)-1-tert-butoxycarbonyl-2-phenyl-3-[2-hydroxy-5-(5-trifluoromethyltetrazol-1-yl)phenylmethylamino]piperidine (preparation given) and Cs2CO3 in DMF followed

L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:19907 CAPLUS
DOCUMENT NUMBER: 140:71050
TITLE: Pharmaceutical compositions containing 5-phenylbenzylamine derivatives as tachykinin receptor antagonists
INVENTOR(S): Takahashi, Masami; Miyake, Tsutomu; Yamakita, Hirokazu; Saito, Akira; Asai, Hidetoshi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002334	A	20040108	JP 2003-79326	20030324

PRIORITY APPLN. INFO.: JP 2002-82304 A 20020325

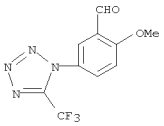
OTHER SOURCE(S): MARPAT 140:71050
GI



I

AB The compns., useful for treatment of inflammation, allergic diseases, pain, migraine, neuralgia, cough, vomiting, dysuria, etc., contain 5-phenylbenzylamine derivs. I (Ra, Rb1, Rb2 = H, halo, alkyl, haloalkyl, alkoxy; Rc1 = H, alkyl which may be substituted with heterocyclyl, acyl; Rc2 = H, alkyl; Rd = H, alkyl, acyl; Re = H, alkyl; Rf = alkyl, cycloalkyl) or their pharmacol. acceptable salts as antagonists for tachykinin receptors especially neurokinin 1 receptors. Inhibition rate of [2-methoxy-5-(4-fluorophenyl)benzyl][(2S,3S)-2-phenylpiperidin-3-yl]amine dihydrochloride (preparation given) against GR 73632 (NK1 receptor agonist)-induced foot tapping was higher than that of (2S,3S)-3-(2-methoxy-5-phenylbenzyl)amino-2-phenylpiperidine. This compound also showed strong antiemetic effect against cisplatin-induced vomiting in ferrets.
IT 168267-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of (phenylbenzyl)(phenylpiperidinyl)amine derivs. as NK1 receptor antagonists)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



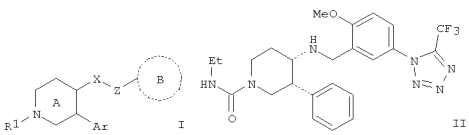
L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:972057 CAPLUS
DOCUMENT NUMBER: 140:27765
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki;
Shirai, Junya; Yamashita, Masayuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487688	A1	20031211	CA 2003-2487688	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1671662	A	20050921	CN 2003-818354	20030529
NZ 537330	A	20070427	NZ 2003-537330	20030529
JP 2004285038	A	20041014	JP 2003-154345	20030530
MX 2004PA11730	A	20050714	MX 2004-PA11730	20041125
US 20060167052	A1	20060727	US 2004-516252	20041129
ZA 2004010085	A	20060726	ZA 2004-10085	20041214
IN 2004KN01942	A	20061201	IN 2004-KN1942	20041216
NO 2004005701	A	20050216	NO 2004-5701	20041229

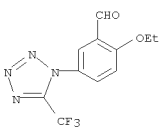
PRIORITY APPLN. INFO.: JP 2002-159338 A 20020531
JP 2003-17885 A 20030127
WO 2003-JP6754 W 20030529

OTHER SOURCE(S): MARPAT 140:27765
GI

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

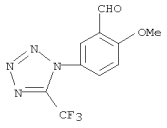


AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis.
II
showed antagonistic activity with IC50 of 0.025 nM against human substance
P receptor. Formulations containing I as an active ingredient were also described.
IT 183808-94-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine derivs. as tachykinin receptor
antagonists for treatment of frequent urination and urinary incontinence)
RN 183808-94-8 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as tachykinin receptor antagonists for
treatment of frequent urination and urinary incontinence)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:950997 CAPLUS
DOCUMENT NUMBER: 140:16648
TITLE: Preparation of N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines as substance P receptor antagonists
INVENTOR(S): Takahashi, Masami; Miyake, Tsutomu; Moritani, Yasunori; Asai, Hidetoshi; Ishii, Taketoshi; Kono, Rikako
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

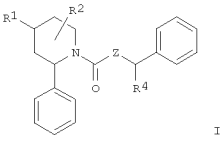
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099787	A1	20031204	WO 2003-JP6720	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004143139	A	20040520	JP 2003-148644	20030527
TW 283241	B	20070701	TW 2003-92114229	20030527
CA 2487306	A1	20031204	CA 2003-2487306	20030529
AU 2003240015	A1	20031212	AU 2003-240015	20030529
AU 2003240015	B2	20080103		
BR 2003011410	A	20050315	BR 2003-11410	20030529
EP 1513814	A1	20050316	EP 2003-733139	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1656071	A	20050817	CN 2003-812260	20030529
NZ 537185	A	20070223	NZ 2003-537185	20030529
RU 2294927	C2	20070310	RU 2004-138594	20030529
MX 2004PA11764	A	20050331	MX 2004-PA11764	20041126
ZA 2004009729	A	20060726	ZA 2004-9729	20041201
NO 2004005508	A	20050214	NO 2004-5508	20041216
IN 2004CN02950	A	20060217	IN 2004-CN2950	20041227
US 20050239829	A1	20051027	US 2005-515845	20050613

PRIORITY APPLN. INFO.:

JP 2002-155744	A	20020529
US 2002-395342P	P	20020712
JP 2002-248755	A	20020828
US 2002-409595P	P	20020911
WO 2003-JP6720	W	20030529

OTHER SOURCE(S): MARPAT 140:16648
GI

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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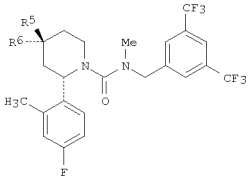
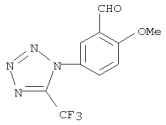


II

AB N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines I [R1 = alkyl, (un)substituted hydroxy, mercapto, carbonyl, sulfinyl, sulfonyl, R11R12N; R2 = H, halogen, (un)substituted hydroxy, amino, alkyl, or carbonyl group; R3, R4 = H, (un)substituted alkyl; R11, R12 = H, (un)substituted carbonyl, sulfonyl, alkyl, heterocyclyl (containing 1-4 nitrogen, oxygen, or sulfur atoms); R11R12N may form an (un)substituted heterocyclyl moiety from the list of piperidinyl, hexahydroazepinyl, pyrrolidinyl, imidazolidinyl, hexahydropyrimidinyl, thiazolidinyl, morpholinyl, triazolyl, tetrazolyl, purinyl; Z = O, NR3; both of the explicit Ph rings may be substituted] such as II are prepared as tachykinin receptor antagonists (and particularly substance P receptor antagonists) for the treatment of inflammation, allergies, pain, nausea, central nervous system and digestive diseases, and urinary and immune disorders. Addition of 4-fluoro-2-methylphenylmagnesium bromide to 4-methoxypyridine followed by acylation with benzyloxycarbonyl chloride, reduction of the dihydropiperidone with zinc and acetic acid, protection of the ketone as the di-Me acetal, reduction of the benzyloxycarbonyl group with hydrogen in the presence of palladium on carbon, addition of 3,5-(F3C)2C6H3CH2NHMe to 1,1'-carbonylimidazole followed by addition of the piperidine, acid cleavage of the acetal, and reduction of the ketone, gives a mixture of the racemic piperidinols II (R5 = H, HO; R6 = HO, H). Approx. 500 example compds. are prepared (no biol. data).

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines as substance P receptor antagonists for the treatment of inflammation and conditions such as urinary disorders)
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:778721 CAPLUS
DOCUMENT NUMBER: 137:294877
TITLE: Preparation of benzylamino derivatives of 1-phenyl-8-azabicyclo[3.2.1]octane and their use as NK1 receptor antagonists
INVENTOR(S): Kulagowski, Janusz Jozef; Raubo, Piotr Antoni; Thomson, Christopher George
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 23 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020147212	A1	20021010	US 2002-113965	20020401
US 6555552	B2	20030429		
WO 2004031190	A1	20040415	WO 2002-GB4515	20021004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002334100	A1	20040423	AU 2002-334100	20021004
EP 1551836	A1	20050713	EP 2002-807881	20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2006503858	T	20060202	JP 2004-540909	20021004

PRIORITY APPLN. INFO.:

GB 2001-8971	A	20010410
WO 2002-GB4515	A	20021004

OTHER SOURCE(S): MARPAT 137:294877
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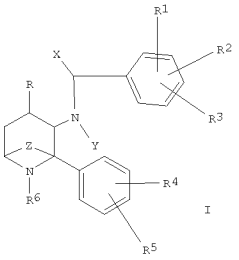


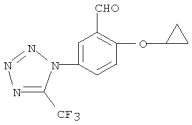
I

AB Benzylamino derivs. of 1-phenyl-8-azabicyclo[3.2.1]octane [I; wherein X = H, (C1-C4)alkyl optionally substituted by hydroxy; Y = H, (C1-C6)alkyl, (C3-C7)cycloalkyl; Z = substituted Et group; R, R1, R2, R3, R4, R5, R6, independently = H, OH, (C1-C6)alkyl, etc.; when R2 is adjacent to R1, they may be joined together to form a 5- or 6-membered saturated or unsatd. ring] were prepared For example, (1R*,2R*,5S*)-2-amino-1-phenyl-8-azabicyclo[3.2.1]octane (synthetic preparation given) and 3,5-bis(trifluoromethyl)benzaldehyde were reacted to give (1R*,2R*,5S*)-2-[3,5-bis(trifluoromethyl)benzylamino]-1-phenyl-8-azabicyclo[3.2.1]octane•2H Cl. The compds. are useful as NK1 receptor antagonists. The compds. are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia.

IT 225246-36-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzylamino derivs. of 1-Ph-8-azabicyclo[3.2.1]octane and use as NK1 receptor antagonists)

RN 225246-36-6 CAPLUS

CN Benzaldehyde, 2-(cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



ACCESSION NUMBER: 2002:539678 CAPLUS
DOCUMENT NUMBER: 137:109295
TITLE: Preparation of 1-(2-methoxybenzyl)-3-benzhydrylpiperazines as tachykinin antagonists
INVENTOR(S): Take, Kazukiko; Kasahara, Chiyoshi; Shigenaga, Shinji; Azami, Hidenori; Eikyu, Yoshiteru; Nakai, Kazuo; Morita, Masataka
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055518	A1	20020718	WO 2001-JP11240	20011221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433084	A1	20020718	CA 2001-2433084	20011221
AU 2002219512	A1	20020724	AU 2002-219512	20011221
EP 1368343	A1	20031210	EP 2001-273188	20011221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004517873	T	20040617	JP 2002-556187	20011221
US 20040220403	A1	20041104	US 2003-451365	20030630
PRIORITY APPLN. INFO.:			AU 2001-2373 A	20010102
			WO 2001-JP11240 W	20011221

OTHER SOURCE(S): MARPAT 137:109295

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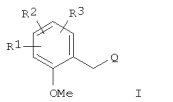

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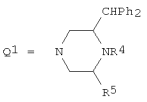
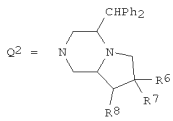
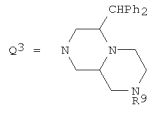




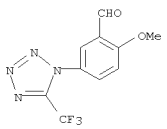


AB Title compds. [I; Q = Q1, Q2, Q3, etc.; R4 = H, alkanoyl, alkyl, carboxyalkyl, alkoxycarbonyl, pyridyl, alkylpyrazolyl; R5 = H, alkoxycarbonyl; R6 = H, halo, O, OH, OH, alkanoyloxy, cyano, carbamoyl, amino, etc.; R7 = H, halo; R8 = H, O, alkanoyloxy, N3, amino, etc.; R9 = H, (substituted) alkanoyl, cycloalkylcarbonyl, azetidinylcarbonyl, pyridylcarbonyl, pyrazinylcarbonyl, alkylsulfonyl, alkylsulfonyl, etc.; R1-R3 = H, halo, alkyl, alkoxy, tetrazolyl, haloalkyltetrazolyl], were prepared Thus, (2R)-2-benzhydryl-4-[2,6-dimethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl]-1-[(1-methyl-1H-pyrazol-4-yl)methyl]piperazine dihydrochloride (general preparation given) at 1.0 mg/kg i.v. in dogs gave 100% inhibition of apomorphine-induced emesis in dogs.

IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of methoxybenzylbenzhydrylpiperazines as tachykinin antagonists)

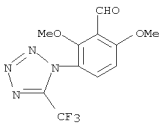
RN 168267-11-6 CAPLUS

CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

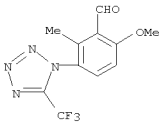


IT 442903-43-7P 442903-45-9P 442903-46-0P
442903-52-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methoxybenzylbenzhydrylpiperazines as tachykinin antagonists)

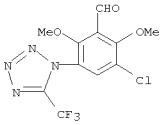
L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 442903-43-7 CAPLUS
CN Benzaldehyde, 2,6-dimethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]-(CA
INDEX NAME)



RN 442903-45-9 CAPLUS
CN Benzaldehyde,
6-methoxy-2-methyl-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]-
(CA INDEX NAME)

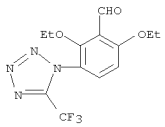


RN 442903-46-0 CAPLUS
CN Benzaldehyde,
3-chloro-2,6-dimethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-
yl]- (CA INDEX NAME)



RN 442903-52-8 CAPLUS
CN Benzaldehyde, 2,6-diethoxy-3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA
INDEX NAME)

L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



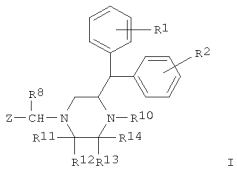
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:10450 CAPLUS
DOCUMENT NUMBER: 136:85824
TITLE: Preparation of benzhydryl derivatives as tachykinin antagonists
INVENTOR(S): Take, Kazuhiko; Kasahara, Chiyoshi; Shigenaga, Shinji;
Azami, Hidenori; Eikyu, Yoshiteru; Nakai, Kazuo; Morita, Masataka
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

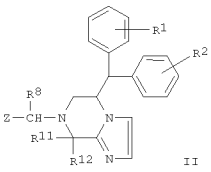
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000631	A2	20020103	WO 2001-JP5424	20010625
WO 2002000631	A3	20020808		
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1294700	A2	20030326	EP 2001-943821	20010625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004501903	T	20040122	JP 2002-505379	20010625
US 20030176430	A1	20030918	US 2002-297937	20021220
US 6787543	B2	20040907		
PRIORITY APPLN. INFO.:			AU 2000-8454 A	20000629
			AU 2001-2373 A	20010102
			WO 2001-JP5424 W	20010625

OTHER SOURCE(S): MARPAT 136:85824
GI

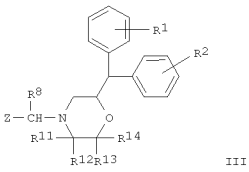
L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II



III

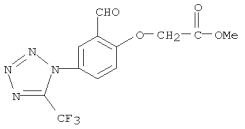
AB The title compds. including 2-benzhydrylpiperazine, 4-benzhydrylhexahydropyrrolo[1,2-a]pyrazine,
4-benzhydrylimidazo[2,3]pyrazin
e, and 2-benzhydrylmorpholine derivs. [I, II, and III; R1, R2 = H, halo, lower alkoxy, lower alkyl, mono(or di or tri) halo(lower)alkyl; R10 = H, lower alkyl optionally substituted with lower alkoxy, carbamoyl, or phenyl; R11, R12, R13, R14 = H, lower alkoxycarbonyl or lower alkyl optionally substituted with hydroxy or lower alkoxy, and R10 and R14 optionally forming (CH2)iCHR15(CH2)j, (CH2)iNR16(CH2)j, (CH2)iOCH2CO or (CH2)iO(CH2)j (wherein i, j = 1,2; R15 = H, halo, lower alkyl, HO, lower alkoxy, amino, lower alkylamino or di (lower)alkylamino; R16 = H, lower alkyl, lower alkanoyl, lower alkoxycarbonyl, benzyloxycarbonyl, lower alkylsulfonyl or mono(or di or tri)halo(lower)alkylsulfonyl); or R12 and R13 optionally forming (CH2)iCHR15(CH2)j (wherein i, j, R15 = same as above); or R13 and R14 optionally forming oxo or two to five methylenes, optionally substituted Ph, naphthyl, benzo[d][1,3]dioxolyl, or pyridyl] and salts thereof are prepared These compds. and pharmaceutically acceptable salts thereof have pharmacol. activities such as tachykinin antagonism, especially substance P antagonism, neurokinin A antagonism or neurokinin B antagonism, and therefore are useful for treating or preventing tachykinin-mediated diseases, particularly substance
P-mediated
diseases, for example, respiratory diseases such as asthma, bronchitis, rhinitis, cough, and expectoration; ophthalmic diseases such as conjunctivitis and vernal conjunctivitis; cutaneous diseases such as contact dermatitis, atopic dermatitis, urticaria, and other eczematoid dermatitis; inflammatory diseases such as rheumatoid arthritis and osteoarthritis; and pains or aches (e.g. migraine, headache, cluster headache, toothache, cancerous pain, back pain, neuralgia, etc.). Thus, chloroformate (3 drops) was added to a mixture of
(6R,9aS)-4-benzhydryl-2-[2-

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl]octahydropyrazino[1,2-a]pyrazine trihydrochloride (12 mg) and N,N-diisopropylethylamine (6 drops) in dichloromethane (1 mL) under ice-cooling and stirred at the same temp. for 2 h to give, after work-up, purifn. on silica gel chromatog., and treatment with 4 N HCl/EtOAc, (6R,9aR)-6-benzhydryl-8-[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl]octahydropyrazino[1,2-a]pyrazine-2-carboxylic acid Me ester dihydrochloride (IV) (7.0 mg) as a colorless powder. IV showed 90 % inhibition rate of emesis in the dog at the dose of 1.0 mg/kg.

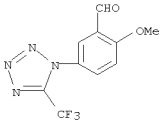
IT 385802-21-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzhydryl derivs. as tachykinin antagonists
for treating or preventing tachykinin-mediated diseases)

RN 385802-21-1 CAPLUS
CN Acetic acid, 2-[2-formyl-4-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenoxy]-, methyl ester (CA INDEX NAME)



IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of benzhydryl derivs. as tachykinin antagonists for
treating or preventing tachykinin-mediated diseases)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

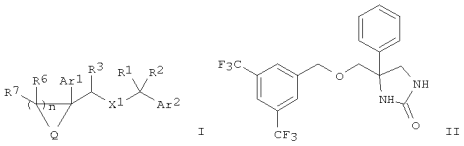
ACCESSION NUMBER: 2001:453028 CAPLUS
DOCUMENT NUMBER: 135:61331
TITLE: Preparation of 2-imidazolidinones and related compounds as selective neurokinin antagonists
INVENTOR(S): Shih, Neng-Yang; Shue, Ho-Jane; Reichard, Gregory A.; Paliwal, Sunil; Blythin, David J.; Piwinski, John J.; Xiao, Dong; Chen, Xiao
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044200	A2	20010621	WO 2000-US33831	20001214
WO 2001044200	A3	20011213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393672	A1	20010621	CA 2000-2393672	20001214
US 6436928	B2	20020820	US 2000-737036	20001214
US 20020123491	A1	20020905		
EP 1237874	A2	20020911	EP 2000-984340	20001214
EP 1237874	B1	20060222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003522739	T	20030729	JP 2001-544690	20001214
HU 2003001607	A2	20031229	HU 2003-1607	20001214
HU 2003001607	A3	20040329		
AT 318259	T	20060315	AT 2000-984340	20001214
ES 2258485	T3	20060901	ES 2000-984340	20001214
ZA 2002004395	A	20030930	ZA 2002-4395	20020531
US 20030064980	A1	20030403	US 2002-163663	20020606
US 6635630	B2	20031021		
MX 2002PA06017	A	20021205	MX 2002-PA6017	20020617

PRIORITY APPLN. INFO.: US 1999-172489P P 19991217
US 2000-737036 A3 20001214
WO 2000-US33831 W 20001214

OTHER SOURCE(S): MARPAT 135:61331
GI

L8 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



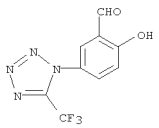
I II

AB Title compds. [I; wherein Ar1 and Ar2 = (un)substituted heteroaryl or Ph; X1 = O, S, SO, SO2, NR12, NCOR12, or NR12SO2R15; Q = X2C(:Y)N(R4), N:C(Y1)N(R4), X2C(Y1):N, or N(R5)SO2N(R4); X2 = O, S, or NR5; Y = O, S, or NR11; Y1 = H, alkyl, SMe, alkoxycarbonylaminoalkyl, NHCOR15, or (un)substituted amino, urea, (hetero)aryl(alkyl), or heterocycloalkyl; n = 1-4; R1, R2, R3 and R7 = H, (cyclo)alkyl, CHF2, CH2F, or CF3; or R1 and R2 together with the C to which they are attached form an alkylene ring; or R1 and R2 together are :O; R4 and R12 = independently H or (cyclo)alkyl; R5 = H or (CH2)mG; m = 0-5; G = H, CF3, CHF2, CH2F, (cyclo)alkyl, (hetero)aryl, OH, (cyclo)alkoxy, SO2R13, (un)substituted amino, sulfamoyl, sulfonylamino, acylamino, carbamoyl, carboxy, urea, etc. with provisos; R6 = R7 or OH with provisos; R11 = H, (cyclo)alkyl, NO2, CN, OH, alkoxy, carbamoyl(alkyl), (hetero)aryl(alkyl), etc.; R13 = H, (cyclo)alkyl, or (hetero)aryl(alkyl), etc.; R15 = (cyclo)alkyl or CF3] were prepared as selective neurokinin antagonists. For example, cycloaddn. of (NH4)2CO3 to 2-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-4'-fluoroacetophenone (4-step preparation given) afforded the 2,4-imidazolidinedione (82%), which was reduced with LAH-AlCl3 (82%). Resolution of the racemates on a chiral column, followed by recrystn., gave the imidazolidinone (-)-II. I exhibited a range of NK1 antagonist activity with Ki values ranging from about 0.1 nM to 1000 nM. Thus, I and pharmaceutical compns. of I in combination with selective serotonin reuptake inhibitors are useful in the treatment of emesis, depression, anxiety, cough, and other NK1-related disorders (no data).

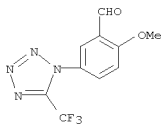
IT 168267-01-4P 168267-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-imidazolidinones and related compds. as selective neurokinin antagonists via cycloaddn. reactions)

RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



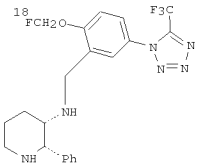
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:227506 CAPLUS
DOCUMENT NUMBER: 132:251079
TITLE: Preparation of radiolabeled neurokinin-1 receptor antagonists
INVENTOR(S): Burns, H. Donald; Gibson, Raymond E.; Hamill, Terence G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018403	A1	20000406	WO 1999-US22163	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2343106	A1	20000406	CA 1999-2343106	19990924
EP 1119356	A1	20010801	EP 1999-956491	19990924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525325	T	20020813	JP 2000-571921	19990924
US 6241964	B1	20010605	US 1999-407822	19990928
PRIORITY APPLN. INFO.:			US 1998-102334P	P 19980929
			WO 1999-US22163	W 19990924

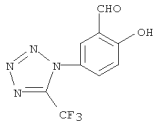
OTHER SOURCE(S): MARPAT 132:251079
GI



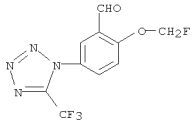
I

AB Piperidine I, a radiolabeled neurokinin-1 receptor antagonist, was prepared
IT 168267-01-4P 180574-28-1P

L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of fluorine-18 labeled piperidine deriv. as radiolabeled neurokinin-1 receptor antagonist)
RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

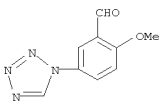


RN 180574-28-1 CAPLUS
CN Benzaldehyde, 2-(fluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

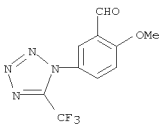


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:69143 CAPLUS
DOCUMENT NUMBER: 132:222420
TITLE: Synthesis of carbon-14 labeled NK-1 receptor antagonists GR203040 and GR205171
AUTHOR(S): Cable, Karl M.; Wells, Guy N.; Sutherland, Derek R.
CORPORATE SOURCE: Chemical Development Division, Glaxo Wellcome Medicines Research Centre, Hertfordshire, SG1 2NY, UK
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2000), 43(1), 29-45
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Syntheses of carbon-14 labeled versions of NK-1 receptor antagonists GR203040 and GR205171 are described. The carbon-14 atoms were introduced by palladium(0) catalyzed cyanation of iodoarom. substrates.
IT 168267-02-5P 168267-11-6P 261173-09-5P 261173-14-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbon-14 labeled NK-1 receptor antagonists GR203040 and GR205171)
RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

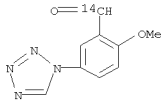


RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

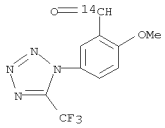


RN 261173-09-5 CAPLUS
CN Benzaldehyde-formyl-14C, 2-methoxy-5-(1H-tetrazol-1-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 261173-14-2 CAPLUS
CN Benzaldehyde-formyl-14C, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

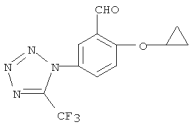
L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:325926 CAPLUS
DOCUMENT NUMBER: 131:5261
TITLE: Preparation of N-[[2-cyclopropoxy-5-(tetrazol-1-yl)phenyl]methyl]-2-phenylpiperidin-3-amine derivatives and their use as tachykinin antagonists
INVENTOR(S): Elliott, Matthew Jason
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924423	A1	19990520	WO 1998-GB3299	19981104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309162	A1	19990520	CA 1998-2309162	19981104
AU 9897554	A	19990531	AU 1998-97554	19981104
EP 1028957	A1	20000823	EP 1998-951601	19981104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001522847	T	20011120	JP 2000-520437	19981104
US 20020052504	A1	20020502	US 2000-530990	20000508
PRIORITY APPLN. INFO.:			GB 1997-23544 A	19971107
			WO 1998-GB3299 W	19981104

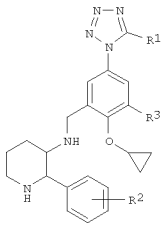
OTHER SOURCE(S): MARPAT 131:5261
GI

L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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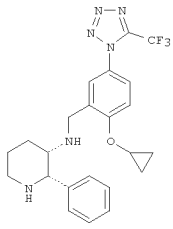


II

AB Substituted piperidine derivs. I [R1 = H, Me, CF3; R2 = H, halo; R3 = H, halo] and their pharmaceutically acceptable salts are tachykinin receptor antagonists, of use, for example, in the treatment or prevention of pain, inflammation, migraine, emesis, postherpetic neuralgia, depression, and anxiety. The compds. show high hepatic stability, high oral bioavailability, high affinity for human NK-1 receptor, and enhanced duration of action. For instance, 2-hydroxy-5-nitrobenzaldehyde underwent etherification with 1-iodocyclopropyl Ph sulfide (40%), followed by reduction of nitro to amino (62%), reductive cleavage of phenylthio (77%), trifluoroacetylation of the amino group (84%), formation of the benzoate ester (88%), cyclocondensation with NaN3 to give a tetrazole derivative (81%), hydrolysis of the ester (97%), oxidation of the resulting alc. to an aldehyde (41%), and reductive amination of the aldehyde with (2S,3S)-2-phenylpiperidin-3-amine (30%), to give title compound II as the di-HCl salt. The latter had an IC50 of 0.08 nM at the human NK1 receptor.

IT 225246-36-6P, 2-Cyclopropoxy-5-[5-(trifluoromethyl)tetrazol-1-yl]benzaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [[cyclopropoxy(tetrazolyl)phenyl]methyl]phenyl piperidinamine derivs. as tachykinin antagonists)

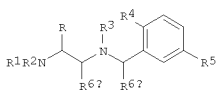
RN 225246-36-6 CAPLUS
CN Benzaldehyde, 2-(cyclopropyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:30883 CAPLUS
DOCUMENT NUMBER: 130:52422
TITLE: Preparation of ethane-1,2-diamines as tachykinin antagonists
INVENTOR(S): Harrison, Timothy; Owens, Andrew Pate
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Brit. UK Pat. Appl., 35 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2321058	A	19980715	GB 1998-490	19980109
US 5922744	A	19990713	US 1998-6028	19980112
PRIORITY APPLN. INFO.:			GB 1997-555 A	19970113

OTHER SOURCE(S): MARPAT 130:52422
GI



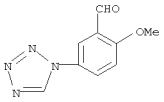
I

AB The title compds. [I; R = (un)substituted Ph, benzhydryl; R1 = H, (CH2)pHet (wherein Het = (un)substituted 5-6 membered aromatic heterocyclic group containing 1-3 N atoms); R2 = H, C1-6 alkyl, (C1-4 alkoxy)C1-6 alkyl; R3 = H, C1-6 alkyl, C1-6 alkylcarbonyl; R4 = C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyloxy, etc.; R5 = fluoroC1-6 alkoxy, (CH2)qHet1 (wherein Het1 = (un)substituted 5-6 membered aromatic heterocyclic group containing 1-4 heteroatoms chosen from N, O and S); R6a, R6b = H, C1-6 alkyl], useful as tachykinin antagonists, were prepared Thus, reaction of Nβ-[(benzyloxy)carbonyl](R,S)-β-amino-2-phenylethanamine with 2-methoxy-5-(tetrazol-1-yl)benzaldehyde in the presence of NaBH3(CN), mol. sieves and citric acid in MeOH followed by hydrogenation of the resulting intermediate over Pd(OH)2/C in EtOH afforded I [R = Ph; R1-R3 = H; R4 = MeO; R5 = tetrazol-1-yl; R6a, R6b = H] which showed IC50 of < 1 μM at the NP1 receptor.

IT 168267-02-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ethane-1,2-diamines as tachykinin antagonists)

RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

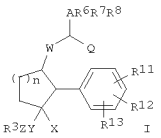


L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:306975 CAPLUS
DOCUMENT NUMBER: 129:15967
ORIGINAL REFERENCE NO.: 129:3429a,3432a
TITLE: Preparation of arylcycloalkanes as tachykinin receptor
antagonists.
INVENTOR(S): Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Finke, Paul; Hale, Jeffrey; Holson, Edward; Kopka,
Ihor; Maccoss, Malcolm; Meurer, Laura; Mills, Sander G.; Robichaud, Albert
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 109 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5750549	A	19980512	US 1996-730277	19961015
PRIORITY APPLN. INFO.:			US 1996-730277	19961015

OTHER SOURCE(S): MARPAT 129:15967
GI

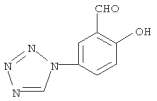


AB Title compds. [I; R3 = H, alkoxy, phenylalkoxy, Ph, cyano, halo, amino, (substituted) alkyl, null; R6-R8 = H, alkoxy, halo, (substituted) alkyl, OH, cyano, CF3, NO2, heterocyclyl, etc.; R11-R13 = H, (substituted) alkyl, halo, cyano, CF3, NO2, OH, alkoxy, etc.; A = Ph, benzofuranyl, benzothiophenyl, benzothiazoyl, indolyl, imidazolyl, oxadiazolyl, pyridyl, pyrimidyl, quinolinyl, thiazolyl, thienyl, thiophenyl, dihydrobenzofuranyl; Q = H, alkyl; W = O, NH, alkylimino, NHCO, alkyliminocarbonyl; X = H, alkyl; Y = bond, (substituted) alkyl; Z = NR15, CONR15, SO2NR15, SO2, CO2R15, CH2OR15, null; R15 = H, (substituted) alkyl; n = 1-3; with provisos], were prepared Thus, Me 3(SR)-hydroxy-2(RS)-phenylcyclopentane-1(RS)-carboxylate (preparation given) was treated with 3,5-bis(trifluoromethyl)benzyl bromide and NaH in DMF to give Me 3(SR)-[3,5-bis(trifluoromethyl)phenylmethoxy]-2(RS)-phenylcyclopentane-1(RS)-carboxylate. I showed intrinsic tachykinin receptor antagonist activity in the range 0.05-10 μM.

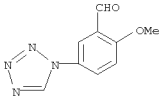
L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 168266-93-1 168267-02-5 168267-11-6
180574-24-7 190271-82-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylcycloalkanes as tachykinin receptor antagonists)

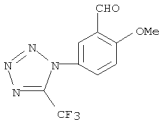
RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



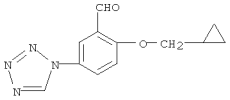
RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

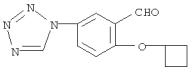


RN 180574-24-7 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



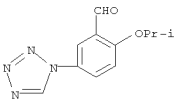
L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 190271-82-0 CAPLUS
CN Benzaldehyde, 2-(cyclobutyloxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

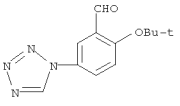


IT 168267-13-8P 190270-94-1P 190270-95-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylcycloalkanes as tachykinin receptor antagonists)

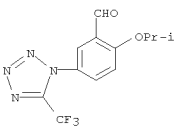
RN 168267-13-8 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 190270-94-1 CAPLUS
CN Benzaldehyde, 2-(1,1-dimethylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 190270-95-2 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

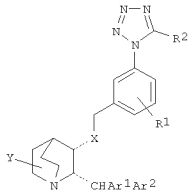
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:183916 CAPLUS
DOCUMENT NUMBER: 128:230552
ORIGINAL REFERENCE NO.: 128:45671a,45674a
TITLE: Preparation of tetrazolyl-substituted quinuclidines as
substance P antagonists
INVENTOR(S): Satake, Kunio
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 829480	A2	19980318	EP 1997-306612	19970828
EP 829480	A3	19980408		
EP 829480	B1	20001220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 198201	T	20010115	AT 1997-306612	19970828
ES 2152633	T3	20010201	ES 1997-306612	19970828
PT 829480	T	20010430	PT 1997-306612	19970828
US 5939434	A	19990817	US 1997-924171	19970905
CA 2215020	A1	19980312	CA 1997-2215020	19970910
CA 2215020	C	20000516		
JP 10087661	A	19980407	JP 1997-262965	19970911
JP 3273750	B2	20020415		
GR 3035379	T3	20010531	GR 2001-400206	20010207
PRIORITY APPLN. INFO.:			WO 1996-IB934 W	19960912
			EP 1997-306612 A	19970828

OTHER SOURCE(S): MARPAT 128:230552
GI

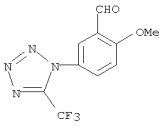


I

AB The title compds. I (R1 = halo, C1-C6-alkyl, halo-C1-C6-alkyl, C1-C6-alkoxy or halo-C1-C6-alkoxy; R2 = H, C1-C6-alkyl, halo-C1-C6-alkyl, C1-C6-alkylthio, C1-C6-alkylsulfinyl-, C1-C6-alkylsulfonyl, cyclopropyl, Ph, NH2, NHMe, -NHC(:O)Me, NMe2, NEt2 or -CH2C(:O)CF3; Ar1 and Ar2 are independently Ph, halophenyl or thienyl; X = NH, O or S; Y = H, -COOR3 or -CONR4R5, wherein R3, R4 and R5 are independently hydrogen or C1-C6 alkyl) and their pharmaceutically acceptable salts were prepd. These compds. are useful as analgesics or anti-inflammatory agents, or in the treatment of allergic disorders, angiogenesis, CNS disorders, emesis, gastrointestinal disorders, sunburn, urinary incontinence, or esp. as analgesics or anti-inflammatory agents in the periphery (no data). Thus, (2S,3S)-2-(diphenylmethyl)-1-azabicyclo[2.2.2]octane-3-amine was treated with 2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzaldehyde in CH2Cl2 contg. sodium triacetoxyborohydride and AcOH to give (2S,3S)-3-[2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzylamino]-2-(diphenylmethyl)-1-azabicyclo[2.2.2]octane.

IT 168267-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrazolyl-substituted quinuclidines as substance P antagonists)

RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

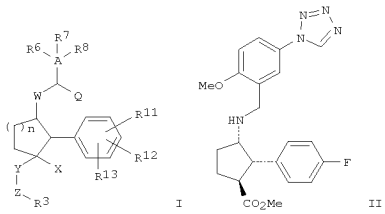


L8 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:397335 CAPLUS
DOCUMENT NUMBER: 127:17433
ORIGINAL REFERENCE NO.: 127:3517a,3520a
TITLE: Cyclopentyl tachykinin receptor antagonists
INVENTOR(S): Finke, Paul E.; Maccoss, Malcom; Meurer, Laura C.; Mills, Sander G.; Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Hale, Jeffery; Holson, Edward; Kopka, Ihor; Robichaud, Albert
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Finke, Paul E.; Maccoss, Malcolm; Meurer, Laura C.; Mills, Sander G.; Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Hale, Jeffrey; et al.
SOURCE: PCT Int. Appl., 343 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714671	A1	19970424	WO 1996-US16489	19961015
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2234913	A1	19970424	CA 1996-2234913	19961015
AU 9710497	A	19970507	AU 1997-10497	19961015
AU 722883	B2	20000810		
EP 858444	A1	19980819	EP 1996-941315	19961015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002534955	T	20021015	JP 1997-515929	19961015
PRIORITY APPLN. INFO.:			US 1995-5558P P	19951018
			GB 1996-5160 A	19960312
			WO 1996-US16489 W	19961015

OTHER SOURCE(S): MARPAT 127:17433
GI

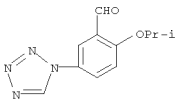


I II

AB The invention is directed to certain novel compds. I and their pharmaceutically acceptable salts [wherein R3 = H, OH, alkoxy, Ph, cyano, halo, (un)substituted NH2, heterocyclyl, etc.; R6, R7, R8 = H, alkoxy, halo, (un)substituted alkyl, OH, cyano, CF3, etc.; R11, R12, R13 = H, (un)substituted alkyl, halo, cyano, CF3, etc.; A = benzene or various heterocycles; Q = H, alkyl; W = O, NH, alkylimino, NHCO, alkyliminocarbonyl; X = H, alkyl; Y = bond, (un)substituted alkyl; Z = (un)substituted NH, CONH, NHCO, SO2NH, NHSO2, SO2, CO2H, etc.; n = 1, 2, 3]. The invention is also concerned with pharmaceutical formulations comprising I as active ingredients, and use of I and their formulations in the treatment of certain disorders. I are tachykinin receptor antagonists (no data) and are useful in the treatment of inflammatory diseases, pain, migraine, asthma, and emesis. For instance, reductive alkylation of the appropriate amine with 2-methoxy-5-(1-tetrazolyl)benzaldehyde, by treatment with AcOH and 3A sieves in MeOH followed by NaBH3CN, gave title compound II.

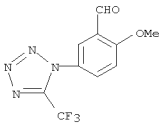
IT 168267-13-8P 190270-94-1P 190270-95-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cyclopentyl derivs. as tachykinin receptor antagonists)

RN 168267-13-8 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

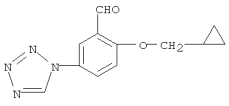


RN 190270-94-1 CAPLUS
CN Benzaldehyde, 2-(1,1-dimethylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

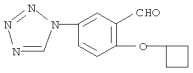
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

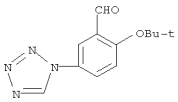


RN 180574-24-7 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

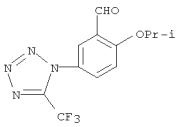


RN 190271-82-0 CAPLUS
CN Benzaldehyde, 2-(cyclobutyloxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



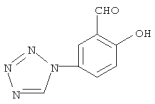


RN 190270-95-2 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

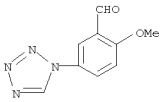


IT 168266-93-1 168267-02-5 168267-11-6 180574-24-7 190271-82-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of cyclopentyl derivs. as tachykinin receptor antagonists)

RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

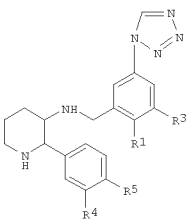


ACCESSION NUMBER: 1996:751549 CAPLUS
DOCUMENT NUMBER: 126:18876
ORIGINAL REFERENCE NO.: 126:3921a,3924a
TITLE: Preparation of 3-(tetrazolylbenzylamino)-2-phenylpiperidines as neurokinin antagonists.
INVENTOR(S): Giblin, Gerard Martin Paul; Sharratt, Peter John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629326	A1	19960926	WO 1996-EP1169	19960319
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9653335	A	19961008	AU 1996-53335	19960319
ZA 9602200	A	19961030	ZA 1996-2200	19960319
EP 815104	A1	19980107	EP 1996-909997	19960319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11502810	T	19990309	JP 1996-528073	19960319
IL 117553	A	20000716	IL 1996-117553	19960319
US 5919803	A	19990706	US 1997-894227	19970820

PRIORITY APPLN. INFO.: GB 1995-5692 A 19950321
IL 1996-111002 A0 19960319
WO 1996-EP1169 W 19960319

OTHER SOURCE(S): MARPAT 126:18876
GI



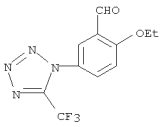
I

AB Title compds. [I; R1 = alkoxy; R3 = H, halo; R4, R5 = H, halo, alkyl, alkoxy, CF3], were prepared Thus, (S,S)-2-phenyl-3-piperidinylamine, 2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzaldehyde, Na(AcO)3BH, and HOAc were stirred in CH2Cl2 to give (S,S)-3-[2-methoxy-5-(5-trifluromethyltetrazol-1-yl)benzylamino]-2-phenylpiperidine dihydrochloride. The latter was converted to (S,S)-3-[2-ethoxy-5-(5-trifluoromethyltetrazol-1-yl)benzylamino]-2-phenylpiperidine dihydrochloride which inhibited radiation-induced emesis in ferrets at 0.1 mg/kg s.c.

IT 183808-94-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-(tetrazolylbenzylamino)-2-phenylpiperidines as neurokinin antagonists)

RN 183808-94-8 CAPLUS

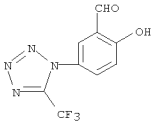
CN Benzaldehyde, 2-ethoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



IT 168267-01-4P 168267-11-6P 183808-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(tetrazolylbenzylamino)-2-phenylpiperidines as neurokinin antagonists)

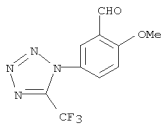
RN 168267-01-4 CAPLUS

CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



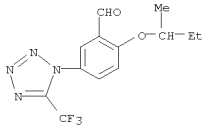
RN 168267-11-6 CAPLUS

CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 183808-92-6 CAPLUS

CN Benzaldehyde, 2-(1-methylpropoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

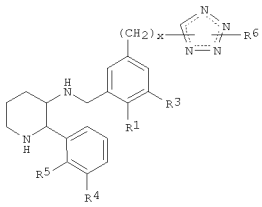


ACCESSION NUMBER: 1996:537692 CAPLUS
DOCUMENT NUMBER: 125:195658
ORIGINAL REFERENCE NO.: 125:36651a,36654a
TITLE: Preparation of 3-[[[(tetrazolyl)alkyl]phenyl]methyl]amino]piperidine tachykinin antagonists
INVENTOR(S): Armour, Duncan Robert; Giblin, Gerald Martin Paul; Pennell, Andrew Michael Kenneth; Sharratt, Peter John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621661	A1	19960718	WO 1996-EP82	19960110
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
AU 9644378	A	19960731	AU 1996-44378	19960110
EP 802912	A1	19971029	EP 1996-900578	19960110
EP 802912	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 10511973	T	19981117	JP 1996-521428	19960110
JP 3925662	B2	20070606		
AT 279406	T	20041015	AT 1996-900578	19960110
ES 2229259	T3	20050416	ES 1996-900578	19960110
US 6020346	A	20000201	US 1997-849727	19970708

PRIORITY APPLN. INFO.: GB 1995-549 A 19950112
GB 1995-5639 A 19950321
GB 1995-5640 A 19950321
WO 1996-EP82 W 19960110

OTHER SOURCE(S): MARPAT 125:195658
GI



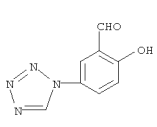
I

AB The title compds. [I; R1 = (cycloalkyl)alkyloxy, fluoroalkyloxy, etc.; R3 = H, halogen; R4, R5 = H, halogen, C1-4 alkyl, C1-4 alkoxy, CF3, etc.; R6 = H, C1-4 alkyl, (cyclopropyl)alkyl, Ph, etc.], useful in the treatment of diseases mediated by tachykinins, are prepared and I-containing formulations presented. Thus, (2S)-phenylpiperidin-(3S)-ylamine was reacted with 2-cyclopentoxy-5-tetrazol-1-ylbenzaldehyde with triacetoxyborohydride followed by treatment with HCl, producing (2-cyclopentoxy-5-tetrazol-1-ylbenzyl)-([2S,3S]-2-phenylpiperidin-3-yl)amine dihydrochloride.

IT 168266-93-1P 168267-01-4P 168267-11-6P 180574-23-6P 180574-24-7P 180574-28-1P 180574-29-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[[[(tetrazolyl)alkyl]phenyl]methyl]amino]piperidine tachykinin antagonists)

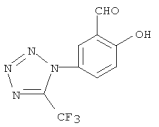
RN 168266-93-1 CAPLUS

CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

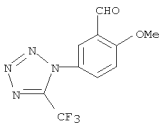


RN 168267-01-4 CAPLUS

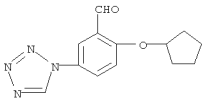
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



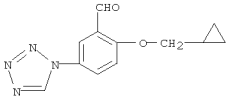
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



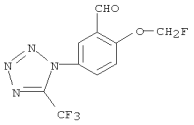
RN 180574-23-6 CAPLUS
CN Benzaldehyde, 2-(cyclopentyloxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



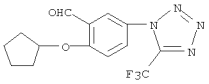
RN 180574-24-7 CAPLUS
CN Benzaldehyde, 2-(cyclopropylmethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



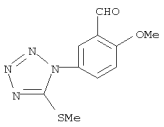
RN 180574-28-1 CAPLUS
CN Benzaldehyde, 2-(fluoromethoxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



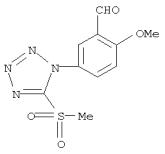
RN 180574-29-2 CAPLUS
CN Benzaldehyde, 2-(cyclopentyloxy)-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



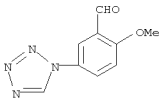
L8 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:314728 CAPLUS
DOCUMENT NUMBER: 125:48348
ORIGINAL REFERENCE NO.: 125:9009a
TITLE: Tetrazole NK1 receptor antagonists: the identification of an exceptionally potent orally active antiemetic compound
AUTHOR(S): Armour, D. R.; Chung, K. M. L.; Congreve, M.; Evans, B.; Hubbard, T.; Kay, C.; Middlemiss, D.; Mordaunt, J. E.; Pegg, N. A.; et al.
CORPORATE SOURCE: Glaxo Wellcome Medicines Research Centre, Hertfordshire, SG1 2NY, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(9), 1015-1020
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The medicinal chemical strategy is described which led to the identification of GR205171, an orally active non-peptide neurokinin-1 receptor antagonist that is the most potent broad-spectrum antiemetic agent reported to date.
IT 168267-07-0 168267-62-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation and structure-activity relations of tetrazole NK1 receptor antagonists)
RN 168267-07-0 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylthio)-1H-tetrazol-1-yl]- (CA INDEX NAME)



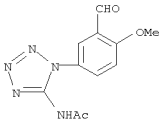
RN 168267-62-7 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylsulfonyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:274729 CAPLUS
DOCUMENT NUMBER: 125:58398
ORIGINAL REFERENCE NO.: 125:11229a,11232a
TITLE: Synthesis of 5-N-substituted tetrazole derivatives of the potent NK1 receptor antagonist GR203040
AUTHOR(S): Congreve, Miles S.
CORPORATE SOURCE: Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
SOURCE: Synlett (1996), (4), 359-360
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of amino-tetrazole derivs. of GR203040 were synthesized as potential NK1 receptor antagonists. The synthesis of these analogs utilised a novel reaction sequence in which 1-aryltetrazoles were converted to 1-aryl-5-amino-tetrazoles via a cyanamide intermediate.
IT 168267-02-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(ketalization of)
RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

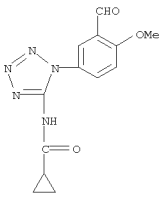


IT 168267-51-4P 168267-57-0P 168267-58-1P
177777-41-2P 177777-42-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reductive amination with chiral amines)
RN 168267-51-4 CAPLUS
CN Acetamide, N-[1-(3-formyl-4-methoxyphenyl)-1H-tetrazol-5-yl]- (CA INDEX NAME)

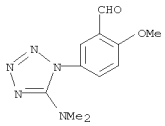


RN 168267-57-0 CAPLUS
CN Benzaldehyde, 5-[5-(dimethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX
NAME)

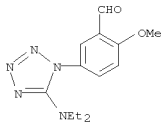
L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



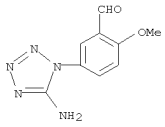
L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 168267-58-1 CAPLUS
CN Benzaldehyde, 5-[5-(diethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX NAME)

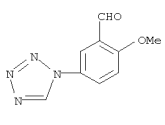


RN 177777-41-2 CAPLUS
CN Benzaldehyde, 5-(5-amino-1H-tetrazol-1-yl)-2-methoxy- (CA INDEX NAME)



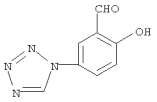
RN 177777-42-3 CAPLUS
CN Cyclopropanecarboxamide,
N-[1-(3-formyl-4-methoxyphenyl)-1H-tetrazol-5-yl]-
(CA INDEX NAME)

L8 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:965087 CAPLUS
DOCUMENT NUMBER: 124:76084
ORIGINAL REFERENCE NO.: 124:13917a,13920a
TITLE: Discovery of an Orally Bioavailable NK1 Receptor Antagonist, (2S,3S)-(2-Methoxy-5-tetrazol-1-ylbenzyl)(2-phenylpiperidin-3-yl)amine (GR203040), with Potent Antiemetic Activity
AUTHOR(S): Ward, Peter; Armour, Duncan R.; Bays, David E.; Evans,
Brian; Giblin, Gerard M. P.; Heron, Nicola; Hubbard, Tania; Liang, Kai; Middlemiss, David; et al.
CORPORATE SOURCE: Department of Medicinal Chemistry, Medicines Research Centre, Stevenage/ Herts, UK
SOURCE: Journal of Medicinal Chemistry (1995), 38(26), 4985-92
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:76084
AB The antiemetic, pharmacokinetic, and metabolic profile of CP-99994, a potent NK1 receptor antagonist, was carefully evaluated. The authors began a medicinal chemical program which initially identified a 3-furanyl analog with improved antiemetic potency and a Me sulfone with enhanced metabolic stability and oral bioavailability. The improved pharmacokinetic profile of the Me sulfone was associated with its low lipophilicity, and a therefore a number of heterocyclic analogs with reduced
log D were synthesized. Out of this program emerged GR203040, a tetrazolyl-substituted analog. The tetrazole inhibits radiation-induced emesis in the ferret with high potency when administered both s.c. and orally, has a long duration of action, and has high oral bioavailability in the dog. This tetrazole is currently undergoing evaluation as a novel approach for the control of emesis associated with, e.g., cancer chemotherapy.
IT 168267-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(condensation with piperidinylamine)
RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



IT 168266-93-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

L8 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L8 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:823012 CAPLUS
DOCUMENT NUMBER: 123:228191
ORIGINAL REFERENCE NO.: 123:40763a,40766a
TITLE: Preparation of 3-(5-tetrazolylbenzyl)piperidinamine derivatives as tachykinin antagonists
INVENTOR(S): Armour, Duncan Robert; Evans, Brian; Giblin, Gerard Martin Paul; Hann, Michael Menteith; Hubbard, Tania; Lewell, Xiao-Qing; Middlemiss, David; Naylor, Alan; Pegg, Neil Anthony; et al.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

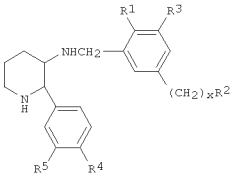
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508549	A1	19950330	WO 1994-EP3129	19940920
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 111002	A	19980924	IL 1994-111002	19940919
CA 2172529	A1	19950330	CA 1994-2172529	19940920
AU 9476974	A	19950410	AU 1994-76974	19940920
AU 681190	B2	19970821		
ZA 9407291	A	19950531	ZA 1994-7291	19940920
EP 720609	A1	19960710	EP 1994-927627	19940920
EP 720609	B1	19981111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1135218	A	19961106	CN 1994-194145	19940920
CN 1061041	C	20010124		
JP 09505275	T	19970527	JP 1994-509554	19940920
JP 2865872	B2	19990308		
HU 75648	A2	19970528	HU 1996-722	19940920
AT 173255	T	19981115	AT 1994-927627	19940920
ES 2123829	T3	19990116	ES 1994-927627	19940920
JP 11106341	A	19990420	JP 1998-224991	19940920
CZ 285479	B6	19990811	CZ 1996-830	19940920
RU 2136675	C1	19990910	RU 1996-107785	19940920
HR 940575	B1	20000630	HR 1994-575	19940920
SK 280901	B6	20000912	SK 1996-383	19940920
PL 179585	B1	20000929	PL 1994-313619	19940920
TW 389762	B	20000511	TW 1994-83108909	19940926
FI 9601270	A	19960503	FI 1996-1270	19960319
NO 9601156	A	19960521	NO 1996-1156	19960321
NO 307830	B1	20000605		
US 5703240	A	19971230	US 1996-612843	19960321
US 5843966	A	19981201	US 1997-899190	19970723

PRIORITY APPLN. INFO.: GB 1993-19606 A 19930922
GB 1993-26583 A 19931231

L8 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

JP 1995-509554 A3 19940920
WO 1994-EP3129 W 19940920
US 1996-612843 A1 19960321

OTHER SOURCE(S): MARPAT 123:228191
GI

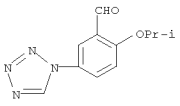


I

AB Title compds. I (R1 = C1-4 alkoxy; R2 = (substituted)tetrazolyl; R3 = H, halo; R4, R5 = H, halo, C1-4 alkyl, C1-4 alkoxy, F3C) or a salt thereof, useful also as antiemetics, are prepared (2S)-phenylpiperidin-(3S)-ylamine, 2-methoxy-5-(5-trifluoromethyltetrazol-1-yl)benzaldehyde (preparation given), Na triacetoxyborohydride and AcOH were reacted to give an oil which was treated with ethereal HCl to give [2-methoxy-5-(5 trifluoromethyltetrazol-1-yl)benzyl]-([2S,3S]-2-phenylpiperidin-3-yl)amine-2HCl (II). II at 0.03 mg/kg, given to ferret 1.5 h prior to irradiation inhibited radiation-induced emesis. Pharmaceutical formulations comprising I are given. I are claimed for a condition mediated by tachykinins, including substance P and other neurokinins.

IT 168267-13-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-(5-tetrazolylbenzyl)piperidinamine derivs. as tachykinin antagonists)

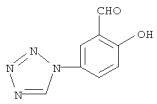
RN 168267-13-8 CAPLUS
CN Benzaldehyde, 2-(1-methylethoxy)-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



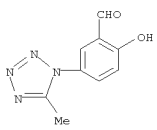
L8 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 168266-93-1P 168266-94-2P 168266-95-3P
168266-96-4P 168266-97-5P 168266-98-6P
168266-99-7P 168267-00-3P 168267-01-4P
168267-02-5P 168267-03-6P 168267-04-7P
168267-05-8P 168267-06-9P 168267-07-0P
168267-08-1P 168267-09-2P 168267-10-5P
168267-11-6P 168267-12-7P 168267-51-4P
168267-57-0P 168267-58-1P 168267-60-5P
168267-62-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(5-tetrazolylbenzyl)piperidinamine derivs. as tachykinin antagonists)

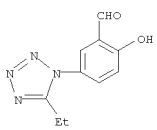
RN 168266-93-1 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



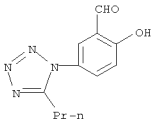
RN 168266-94-2 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



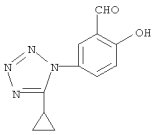
RN 168266-95-3 CAPLUS
CN Benzaldehyde, 5-(5-ethyl-1H-tetrazol-1-yl)-2-hydroxy- (CA INDEX NAME)



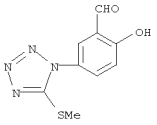
RN 168266-96-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(5-propyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



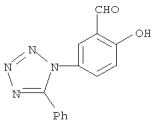
RN 168266-97-5 CAPLUS
CN Benzaldehyde, 5-(5-cyclopropyl-1H-tetrazol-1-yl)-2-hydroxy- (CA INDEX NAME)

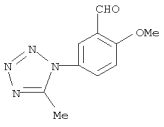


RN 168266-98-6 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(methylthio)-1H-tetrazol-1-yl]- (CA INDEX NAME)

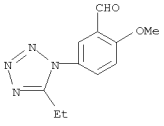


RN 168266-99-7 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-(5-phenyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

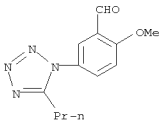




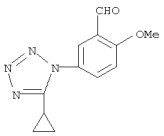
RN 168267-04-7 CAPLUS
CN Benzaldehyde, 5-(5-ethyl-1H-tetrazol-1-yl)-2-methoxy- (CA INDEX NAME)



RN 168267-05-8 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-propyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

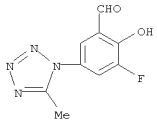


RN 168267-06-9 CAPLUS
CN Benzaldehyde, 5-(5-cyclopropyl-1H-tetrazol-1-yl)-2-methoxy- (CA INDEX NAME)

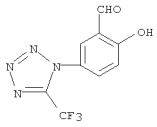


RN 168267-07-0 CAPLUS

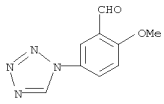
RN 168267-00-3 CAPLUS
CN Benzaldehyde, 3-fluoro-2-hydroxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



RN 168267-01-4 CAPLUS
CN Benzaldehyde, 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

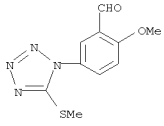


RN 168267-02-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)

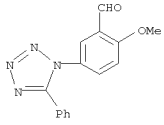


RN 168267-03-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)

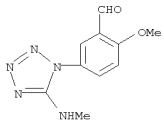
CN Benzaldehyde, 2-methoxy-5-[5-(methylthio)-1H-tetrazol-1-yl]- (CA INDEX NAME)



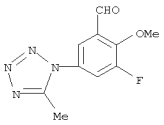
RN 168267-08-1 CAPLUS
CN Benzaldehyde, 2-methoxy-5-(5-phenyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



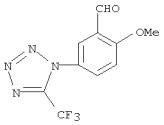
RN 168267-09-2 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylamino)-1H-tetrazol-1-yl]- (CA INDEX NAME)



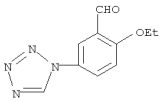
RN 168267-10-5 CAPLUS
CN Benzaldehyde, 3-fluoro-2-methoxy-5-(5-methyl-1H-tetrazol-1-yl)- (CA INDEX NAME)



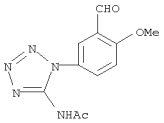
RN 168267-11-6 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



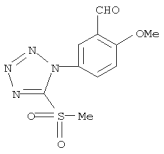
RN 168267-12-7 CAPLUS
CN Benzaldehyde, 2-ethoxy-5-(1H-tetrazol-1-yl)- (CA INDEX NAME)



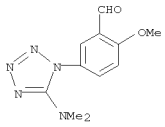
RN 168267-51-4 CAPLUS
CN Acetamide, N-[1-(3-formyl-4-methoxyphenyl)-1H-tetrazol-5-yl]- (CA INDEX NAME)



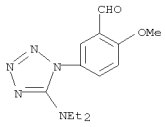
RN 168267-57-0 CAPLUS
CN Benzaldehyde, 5-[5-(dimethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX



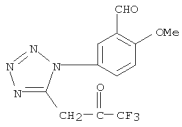
NAME)



RN 168267-58-1 CAPLUS
CN Benzaldehyde, 5-[5-(diethylamino)-1H-tetrazol-1-yl]-2-methoxy- (CA INDEX NAME)



RN 168267-60-5 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(3,3,3-trifluoro-2-oxopropyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)



RN 168267-62-7 CAPLUS
CN Benzaldehyde, 2-methoxy-5-[5-(methylsulfonyl)-1H-tetrazol-1-yl]- (CA INDEX NAME)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	169.43	533.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.80	-25.60

STN INTERNATIONAL LOGOFF AT 16:40:51 ON 29 JUL 2008